

=> d his

(FILE 'HOME' ENTERED AT 15:16:24 ON 04 JUN 2007)

FILE 'REGISTRY' ENTERED AT 15:16:33 ON 04 JUN 2007

L1 STRUCTURE UPLOADED

L2 0 S L1

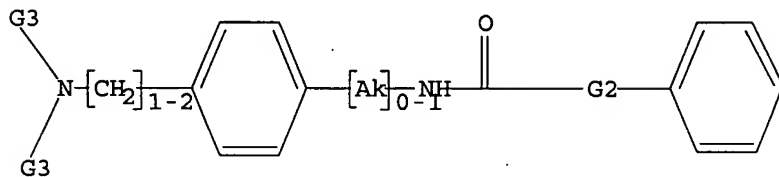
L3 133 S L1 FULL

FILE 'CAPLUS' ENTERED AT 15:17:41 ON 04 JUN 2007

L4 8 S L3

=> d que l4 stat

L1 STR



1 O 2 3 4 5 N 6 7 8 N 9 10

G1

G2 [@1-@2], [@3-@4], [@5-@6], [@7-@8], [@9-@10]

G3 Me, Et, Ph

Structure attributes must be viewed using STN Express query preparation.

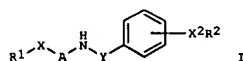
L3 133 SEA FILE=REGISTRY SSS FUL L1

L4 8 SEA FILE=CAPLUS ABB=ON PLU=ON L3

=> d 1-8 bib abs hitstr

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
 RN 2006:1357325 CAPLUS
 DN 146:100558
 TI Preparation of arylalkyl-quaternary ammonium salts as chemokine receptor
 CCR2 antagonists
 IN Lagu, Bharat; Wachter, Michael
 PA USA
 SO U.S. Pat. Appl. Publ., 95pp.
 CODEN: USXXCO
 DT Patent
 LA English
 FAN.CNT 1

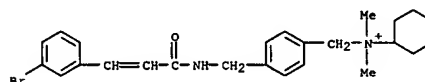
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI US 2006293379	A1	20061228	US 2005-159018	20050622
PRAI US 2005-159018		20050622		
OS MARPAT 146:100558				
GI				



AB Quaternary salt compds. of Formula (I) or pharmaceutically acceptable forms thereof [A = CO, C(S), SO₂; X = a bond, CH₂; R₁ = each (un)substituted aryl, C₅-C₁₅ cycloalkyl, or heterocyclyl; n = 0-4; Y = a bond or CH₂; X₂ = (CH₂)_m (wherein m = 1 or 2); R₂ = -N(R₄R₅)-ZR₃; Z = (CH₂)_p (wherein p = 0-2); R₃ = each (un)substituted aryl, C₅-C₁₅ cycloalkyl or heterocyclyl; wherein, when heterocyclyl is attached via a carbon atom ring member and a heteroatom ring member is adjacent to said carbon atom, then p = 1 or 2; R₄, R₅ = lower alkyl or lower alkenyl; alternatively, R₄ and R₅ combine with the nitrogen atom to form an (un)substituted heterocyclyl ring of 5 to 9 total ring atoms optionally containing one of an oxygen or sulfur ring atom; wherein -ZR₃ is absent and the heterocyclyl ring is optionally substituted with (un)substituted aryl] are prepared. These compds. are useful treating or ameliorating CCR2 mediated inflammatory syndromes, disorders or diseases in a subject in need thereof. Thus, reductive amination of 4-nitrobenzylamine hydrochloride with tetrahydro-4H-pyran-4-one and NaB(OAc)₃H and then reductive methylation with formaldehyde and NaB(OAc)₃H gave methyl(4-nitrobenzyl)(tetrahydropyran-4-yl)amine which underwent reduction with SnCl₂·H₂O and amidation with 3,4-dichlorobenzoyl chloride to give 3,4-dichloro-N-[4-[(methyl(tetrahydropyran-4-yl)amino)methyl]phenyl]benzamide (II). Quaternization of II by Me iodide gave [4-(3,4-dichlorobenzoylamino)benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide which underwent ion exchange with ion exchange resin AG 1-X8 (Cl⁻ form) to give [4-(3,4-dichlorobenzoylamino)benzyl]dimethyl(tetrahydropyran-4-yl)ammonium chloride (III). III showed IC₅₀ of 0.005 μM against the

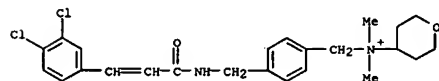
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 binding of 125I-labeled MCP-1 to THP-1 cells
 IT 874886-87-OP, N-[4-[[[3-(3-Bromophenyl)acryloyl]amino]methyl]benzyl]-N-cyclohexyldimethylammonium iodide 874886-90-5P,
 [4-[[[3-(3,4-Dichlorophenyl)acryloyl]amino]methyl]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874886-91-6P, [4-[[[3-(3-Bromophenyl)acryloyl]amino]methyl]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-26-0P, [4-[[[3-(3,4-Dichlorophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-27-1P, [4-[[[3-(3,4-Dichlorophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydrothiopyran-4-yl)ammonium iodide 874887-28-2P, [4-[[[3-(3,5-Difluorophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-29-3P, [4-[[[3-(3-Bromophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-30-6P, [4-[[[3-(3-Bromophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydrothiopyran-4-yl)ammonium iodide 874887-31-7P, [4-[[[3-(3-Chlorophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-32-8P, [4-[[[3-(3-Fluorophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-33-9P, [4-[[[3-(4-Bromophenyl)acryloyl]amino]benzyl]dimethyl(tetrahydropyran-4-yl)ammonium iodide 874887-50-0P,
 Dimethyl(tetrahydropyran-4-yl)[4-[[[3-(3-trifluoromethylphenyl)acryloyl]amino]methyl]benzyl]ammonium iodide 874887-52-2P,
 Dimethyl(tetrahydropyran-4-yl)[4-[[[3-(m-tolyl)acryloyl]amino]benzyl]ammonium iodide 874887-54-4P, Dimethyl(tetrahydropyran-4-yl)[4-[[[3-(3-trifluoromethylphenyl)acryloyl]amino]benzyl]ammonium iodide 874887-57-7P, Cyclohexyl[4-[[[3-(3,4-dichlorophenyl)acryloyl]amino]benzyl]dimethylammonium iodide 874887-58-8P, N-[4-[[[3-(3-Bromophenyl)acryloyl]amino]benzyl]-N-cyclohexyldimethylammonium iodide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of arylalkyl-quaternary ammonium salts as chemokine receptor CCR2 antagonists for inflammatory syndromes, disorders, or diseases)

RN 874886-87-0 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N-cyclohexyl-N,N-dimethyl-, iodide (1:1) (CA INDEX NAME)

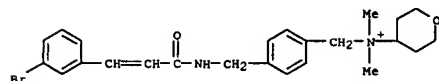
● I⁻

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

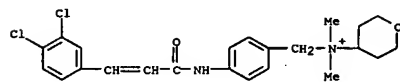
RN 874886-90-5 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,4-dichlorophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

● I⁻

RN 874886-91-5 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

● I⁻

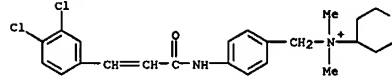
RN 874887-26-0 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,4-dichlorophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

● I⁻

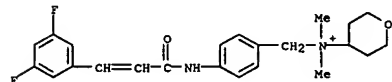
RN 874887-27-1 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,4-dichlorophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-thiopyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

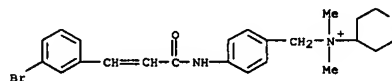
RN 874886-87-0 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N-cyclohexyl-N,N-dimethyl-, iodide (1:1) (CA INDEX NAME)

● I⁻

RN 874887-28-2 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,5-difluorophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

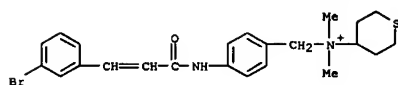
● I⁻

RN 874887-29-3 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

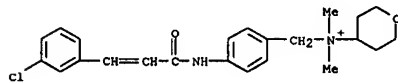
● I⁻

RN 874887-30-6 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-thiopyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

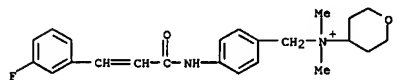
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● I⁻

RN 874887-31-7 CAPLUS
 CN Benzenemethanaminium, 4-[[3-(3-chlorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

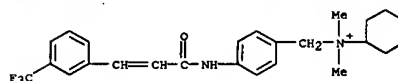
● I⁻

RN 874887-32-8 CAPLUS
 CN Benzenemethanaminium, 4-[[3-(3-fluorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

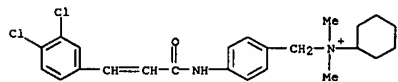
● I⁻

RN 874887-33-9 CAPLUS
 CN Benzenemethanaminium, 4-[[3-(4-bromophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

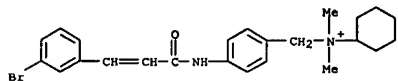
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● I⁻

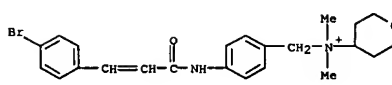
RN 874887-57-7 CAPLUS
 CN Benzenemethanaminium, N-cyclohexyl-4-[[3-(3,4-dichlorophenyl)-1-oxo-2-propenyl]amino]-N,N-dimethyl-, iodide (9CI) (CA INDEX NAME)

● I⁻

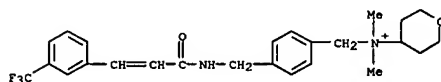
RN 874887-58-8 CAPLUS
 CN Benzenemethanaminium, 4-[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]-N-cyclohexyl-N,N-dimethyl-, iodide (1:1) (CA INDEX NAME)

● I⁻

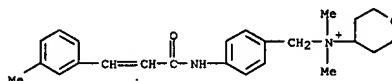
L4 ANSWER 1 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● I⁻

RN 874887-50-0 CAPLUS
 CN Benzenemethanaminium, N,N-dimethyl-4-[[3-(3-bromophenyl)-2-propen-1-yl]amino]methyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

● I⁻

RN 874887-52-2 CAPLUS
 CN Benzenemethanaminium, N,N-dimethyl-4-[[3-(3-methylphenyl)-1-oxo-2-propen-1-yl]amino]-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

● I⁻

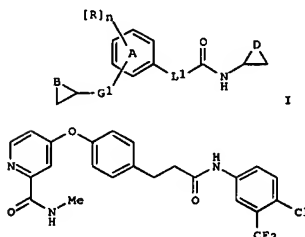
RN 874887-54-4 CAPLUS
 CN Benzenemethanaminium, N,N-dimethyl-4-[[3-(3-(trifluoromethyl)phenyl)-2-propen-1-yl]amino]-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

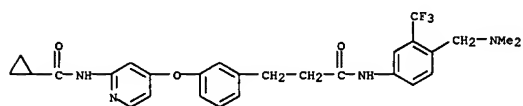
AN 2006:708233 CAPLUS
 DN 145:166969
 TI Preparation of cinnamide and hydrocinnamide derivatives with Raf kinase inhibitory activity for treating cancer
 IN Adams, Ruth S.; Calderwood, Emily F.; Gould, Alexandra E.; Greenspan, Paul
 D.; Lamarche, Matthew J.; Tian, Yuan; Vos, Tricia J.
 PA Millennium Pharmaceuticals, Inc., USA
 SO PCT Int. Appl., 254 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN. CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006076706	A1	20060720	WO 2006-US1490	20060112
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, GU, ID, IL, IN, IS, JP, KE, KG, KM, KN, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, LY, MA, MD, MG, MK, MN, MW, MX, MZ, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, LV, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM US 2006160803 A1 20060720 US 2006-332674 20060112 FRA1 US 2005-643928P P 20050114 US 2005-710635P P 20050823 OS MARPAT 145:166969 GI				



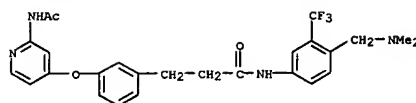
AB Title compds. I [G1 = CH2 and derivs., O, S, NH and derivs., wherein G1 is attached to ring A at the position meta or para to L1; L1 = -(CH2)1-2-CH2-

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
 and derivs.; CH:CH and derivs.; n = 0-2; each R = independently halo,
 NO2,
 CN, OH and derivs., etc.; B = (un)substituted mono- or bicyclic aryl
 having 1-4 ring N atoms, and optionally 1 or 2 addnl. ring heteroatoms
 independently selected from O, S; D = (un)substituted 5- to 6-membered
 heteroaryl having 0-3 ring N atoms and optionally 1 addnl. ring
 heteroatom selected from O, S; and their pharmaceutically acceptable
 salts; with the exception of specified compds.] were prepd. as Raf
 protein
 kinase inhibitors. Thus, coupling of 3-(4-hydroxyphenyl)propanoic acid
 with 4-chloro-3-(trifluoromethyl)aniline, and O-acylation of the phenol
 with 4-chloro-N-methylpyridine-2-carboxamide gave hydrocinnamide II.
 Selected I exhibited IC50 values > 500 nM in B-Raf flash plate assay. I
 are useful in the treatment of various cell proliferative diseases, esp.
 cancer.
 IT 900252-62-2P, N-[4-[3-[3-[[4-[(dimethylamino)methyl]-3-
 (trifluoromethyl)phenyl]amino]-3-oxopropyl]phenoxy]pyridin-2-
 yl]cyclopropanecarboxamide 900252-65-5P, 3-[3-[[2-
 (acetylamino)pyridin-4-yl]oxy]phenyl]-N-[4-[(dimethylamino)methyl]-3-
 (trifluoromethyl)phenyl]propanamide 900254-14-0P,
 N-[4-[3-[[1E]-3-[[4-[(dimethylamino)methyl]-3-
 (trifluoromethyl)phenyl]amino]-3-oxoprop-1-en-1-yl]phenoxy]pyridin-2-
 yl]cyclopropanecarboxamide 900254-19-5P, (2E)-3-[3-[[2-
 (acetylamino)pyridin-4-yl]oxy]phenyl]-N-[4-[(dimethylamino)methyl]-3-
 (trifluoromethyl)phenyl]-2-propenamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (drug candidate; preparation of cinnamides and hydrocinnamides as Raf
 kinase inhibitors for treating cancer)
 RN 900252-62-2 CAPLUS
 CN Benzenepropanamide,
 3-[[2-[(cyclopropylcarbonyl)amino]-4-pyridinyl]oxy]-N-[4-
 [(dimethylamino)methyl]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX
 NAME)



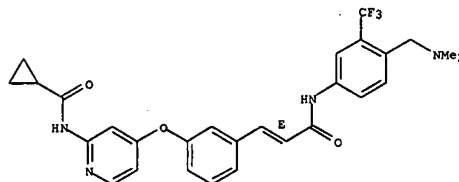
RN 900252-65-5 CAPLUS
 CN Benzenepropanamide, 3-[[2-(acetylamino)-4-pyridinyl]oxy]-N-[4-
 [(dimethylamino)methyl]-3-(trifluoromethyl)phenyl]- (9CI) (CA INDEX
 NAME)

L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



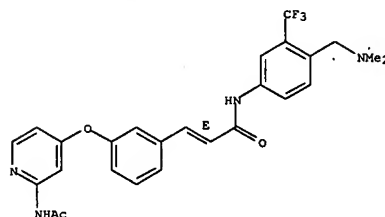
RN 900254-14-0 CAPLUS
 CN Cyclopropanecarboxamide, N-[4-[3-[[1E]-3-[[4-[(dimethylamino)methyl]-3-
 (trifluoromethyl)phenyl]amino]-3-oxo-1-propenyl]phenoxy]-2-pyridinyl]-
 (9CI) (CA INDEX NAME)

Double bond geometry as shown.



RN 900254-19-5 CAPLUS
 CN 2-Propenamide, 3-[3-[[2-(acetylamino)-4-pyridinyl]oxy]phenyl]-N-[4-
 [(dimethylamino)methyl]-3-(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA
 INDEX NAME)

Double bond geometry as shown.



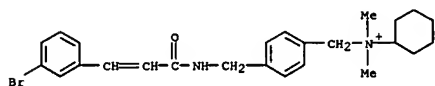
L4 ANSWER 2 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
 RE.CNT 11 THERE ARE 11 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2006:103443 CAPLUS
 DN 144:192105
 TI Preparation of quaternary ammonium salts as chemoattractant cytokine
 receptor 2 antagonists
 IN Lequ, Bharat; Wachter, Michael P.
 PA Janssen Pharmaceutica, N. V., Belg.
 SO PCT Int. Appl., 101 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2006012135	A1	20060202	WO 2005-US22034	20050622
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KM, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NA, NG, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, ML, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, HK, HL, HR, NE, SN, TD, TG, BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM				
AU 2005267385	A1	20060202	AU 2005-267385	20050622
CA 2571587	A1	20060202	CA 2005-2571587	20050622
EP 1765803	A1	20070328	EP 2005-766641	20050622
R: AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, ML, PL, PT, RO, SE, SI, SK, TR, LV				
PRAI US 2004-582929P	P	20040624		
WO 2005-US22034	W	20050622		

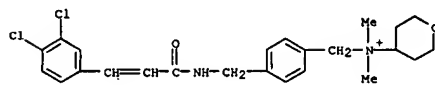
OS CASREACT 144:192105; MARPAT 144:192105
 AB The title quaternary ammonium salts with general formula of
 R1-X-A-NH-Y-C6H4-X2-R2 [wherein A = CO, CS, or SO2; X = a bond or
 -CH=CH-;
 Y = a bond or CH2; X2 = CH2 or (CH2)2; R1 = (un)substituted aryl,
 cycloalkyl, or heterocyclyl; R2 = substituted ammonium, or
 pharmaceutically acceptable forms thereof were prepared as
 chemoattractant
 cytokine receptor 2 (CCR2) antagonists for the treatment of CCR2 mediated
 diseases. For example,
 [4-(3,4-dichlorobenzoylamino)benzyl]dimethyl(tetra
 hydropran-4-yl)ammonium chloride was prepared in a multi-step synthesis.
 The title compds. showed IC50 between 0.005 and 13.4 µM for inhibition
 of monocyte chemoattractant protein 1 (MCP-1) binding to CCR2. The compds.
 are useful in preventing, treating, or ameliorating CCR2 mediated
 inflammatory syndromes, disorders, or diseases, such as uveitis,
 arthritis, psoriasis, cancer, carcinomas, etc. (no data).
 IT 874886-87-0P 874886-90-5P 874886-91-6P
 874887-26-0P 874887-27-1P 874887-28-2P
 874887-29-3P 874887-30-6P 874887-31-7P
 874887-32-8P 874887-33-9P 874887-50-0P
 874887-52-2P 874887-54-4P 874887-57-7P
 874887-58-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (drug candidate; preparation of quaternary ammonium salts as CCR2

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 antagonists)
 RN 874886-87-0 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(cyclohexyl)-, iodide (1:1) (CA INDEX NAME)



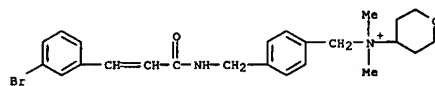
• I⁻

RN 874886-90-5 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,4-dichlorophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

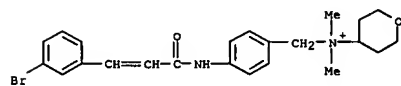
RN 874886-91-6 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]methyl]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

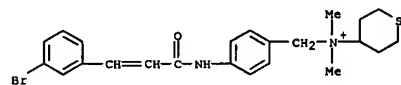
RN 874887-26-0 CAPLUS

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



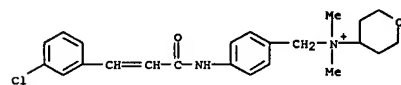
• I⁻

RN 874887-30-6 CAPLUS
 CN Benzenemethanaminium,
 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-thiopyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

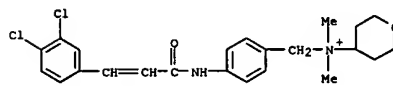
RN 874887-31-7 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-chlorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

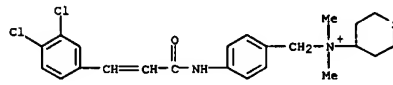
RN 874887-32-8 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3-fluorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 CN Benzenemethanaminium, 4-[[[3-(3,4-dichlorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



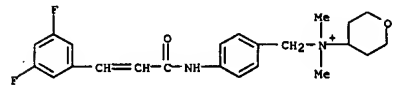
• I⁻

RN 874887-27-1 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,4-dichlorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-thiopyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

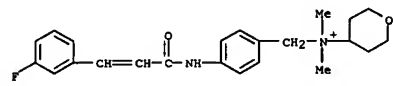
RN 874887-28-2 CAPLUS
 CN Benzenemethanaminium, 4-[[[3-(3,5-difluorophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

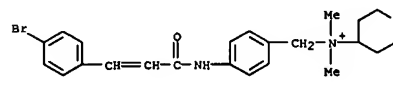
RN 874887-29-3 CAPLUS
 CN Benzenemethanaminium,
 4-[[[3-(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



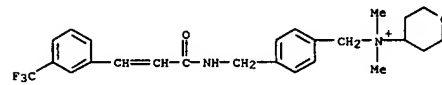
• I⁻

RN 874887-33-9 CAPLUS
 CN Benzenemethanaminium,
 4-[[[3-(4-bromophenyl)-1-oxo-2-propen-1-yl]amino]-N,N-dimethyl-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



• I⁻

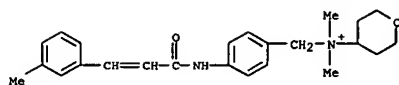
RN 874887-50-0 CAPLUS
 CN Benzenemethanaminium, N,N-dimethyl-4-[[[1-oxo-3-[3-(trifluoromethyl)phenyl]-2-propen-1-yl]amino]methyl]-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)



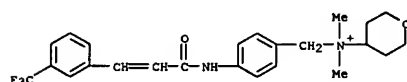
• I⁻

RN 874887-52-2 CAPLUS
 CN Benzenemethanaminium,
 N,N-dimethyl-4-[[[3-(3-methylphenyl)-1-oxo-2-propen-1-yl]amino]-N-(tetrahydro-2H-pyran-4-yl)-, iodide (1:1) (CA INDEX NAME)

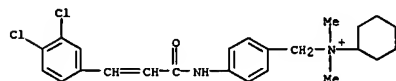
L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● I⁻

RN 874887-54-4 CAPLUS
 CN Benzenemethanaminium, N-cyclohexyl-4-[[1-oxo-3-[(trifluoromethyl)phenyl]-2-propen-1-yl]amino]-N,N-dimethyl-, iodide (1:1) (CA INDEX NAME)

● I⁻

RN 874887-57-7 CAPLUS
 CN Benzenemethanaminium, N-cyclohexyl-4-[[1-oxo-3-[(3,4-dichlorophenyl)-1-oxo-2-propenyl]amino]-N,N-dimethyl-, iodide (9CI) (CA INDEX NAME)

● I⁻

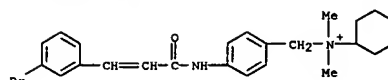
RN 874887-58-8 CAPLUS
 CN Benzenemethanaminium, 4-[[1-oxo-3-[(3-bromophenyl)-1-oxo-2-propen-1-yl]amino]-N-cyclohexyl-N,N-dimethyl-, iodide (1:1) (CA INDEX NAME)

L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:1004726 CAPLUS
 DN 143:305940
 TI Preparation of β -ketoamide derivatives as antagonists of MCH receptor
 IN Roth, Gerald-Juergen; Lustenberger, Philipp; Schindler, Marcus; Thomas, Leo; Stenkamp, Dirk; Mueller, Stephan Georg; Lehmann-Lintz, Thorsten; Santagostino, Marco; Lotz, Ralf Richard Hermann
 PA Boehringer Ingelheim International G.m.b.H., Germany; Boehringer Ingelheim
 SO Pharma G.m.b.H. & Co. K.-G.
 PCT Int. Appl., 138 pp.
 CODEN: PIXXD2
 DT Patent
 LA German
 FAN.CNT 1

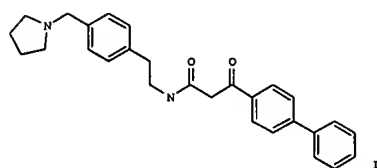
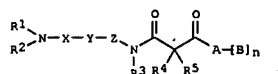
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2005085221	A1	20050915	WO 2005-EP2132	20050301
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BW, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NA, NI, NO, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SM, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	BW, GH, GM, KE, LS, MW, MZ, NA, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 102004010893	A1	20050922	DE 2004-102004010893	20040306
CA 2552907	A1	20050915	CA 2005-2552907	20050301
EP 1730130	A1	20061213	EP 2005-715624	20050301
R:	AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IS, IT, LI, LT, LU, MC, NL, PL, PT, RO, SE, SI, SK, TR			
US 2005245500	A1	20051103	US 2005-71797	20050303
PRAI DE 2004-102004010893	A	20040306		
US 2004-554229P	P	20040318		
WO 2005-EP2132	W	20050301		
OS MARPAT 143:305940				
GI				

L4 ANSWER 3 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

● I⁻

RE.CNT 6 THERE ARE 6 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

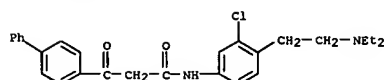
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



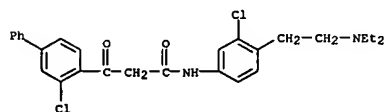
AB Title compds. I (R1 and R2 independently = H, (un)substituted alkyl, cycloalkyl, etc. or R1 and R2 together form alkylene bridge in which one or two CH2 groups may be substituted by either O, S, CO, etc.; R3 = H, alkyl, phenylalkyl, etc.; X = alkylene bridge in which one or two non-neighboring CH2 groups may be substituted by either O, S, CO, etc.; Z = single bond or CR6R7CR8R9; A, B and Y independently = Ph, (un)saturated carbocycle, heterocycle, etc.; n = 0-1; R4 and R5 independently = H, CF3, F, etc.; R6 and R8 independently = H, Cl, alkyl, etc.; R7 and R9 independently = H, F, cycloalkyl, etc.) and their pharmaceutically acceptable salts, are prepared and disclosed as antagonists of MCH receptors. Thus, e.g., II was prepared by subsequent couplings of 4-acetylbiaryl with di-tert carbonate and 2-[4-(pyrrolidin-1-yl-methyl)-phenyl]-ethylamine. The antagonistic activity of II was evaluated in a MCH-1 receptor binding assay and it was revealed that this compound possesses an IC50 value of 63.7 nM. I as antagonist of MCH receptor should prove useful in the treatment of diseases such as but not limited to diabetes, obesity and bulimia. Pharmaceutical compns. comprising I are disclosed.

IT 864659-30-3P 864659-31-4P 864659-33-6P
 864659-35-8P 864659-37-0P 864659-49-4P
 864659-77-8P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (preparation of β -ketoamide derivs. as antagonists of MCH receptor)
 RN 864659-30-3 CAPLUS
 CN [1,1'-Biphenyl]-4-propanamide, N-[3-chloro-4-(2-diethylamino)ethyl]phenyl]- β -oxo- (9CI) (CA INDEX NAME)

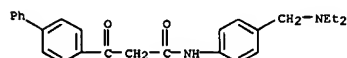
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



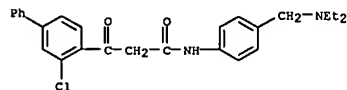
RN 864659-31-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanamide, 3-chloro-N-[3-chloro-4-[2-(diethylamino)ethyl]phenyl]-β-oxo- (9CI) (CA INDEX NAME)



RN 864659-33-6 CAPLUS
CN [1,1'-Biphenyl]-4-propanamide, N-[4-[(diethylamino)methyl]phenyl]-β-oxo- (9CI) (CA INDEX NAME)

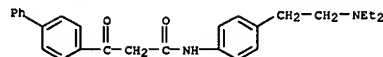


RN 864659-35-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanamide, 3-chloro-N-[4-[(diethylamino)methyl]phenyl]-β-oxo- (9CI) (CA INDEX NAME)

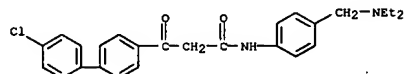


RN 864659-37-0 CAPLUS
CN [1,1'-Biphenyl]-4-propanamide, N-[4-[2-(diethylamino)ethyl]phenyl]-β-oxo- (9CI) (CA INDEX NAME)

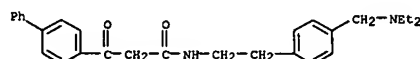
L4 ANSWER 4 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



RN 864659-49-4 CAPLUS
CN [1,1'-Biphenyl]-4-propanamide, 4'-chloro-N-[4-[(diethylamino)methyl]phenyl]-β-oxo- (9CI) (CA INDEX NAME)



RN 864659-77-8 CAPLUS
CN [1,1'-Biphenyl]-4-propanamide, N-[2-[4-[(diethylamino)methyl]phenyl]ethyl]-β-oxo- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

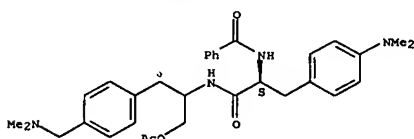
L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:353829 CAPLUS
I42:487443
TI Application of phenylalanol derivative as drug for treating hepatitis B and its formulation
IN Liang, Guangyi; Liu, Yuming; Xu, Bixue
PA Guizhou Key Laboratory of Natural Product, Chinese Academy of Sciences, Peop. Rep. China
SO Faming Zhuanli Shengqing Gongkai Shuomingshu, 9 pp.
CODEN: CNXKEV
DT Patent
LA Chinese
FAN.CNT 1

	PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI	CN 1437937	A	20030827	CN 2002-160309	20021219
PRAI	CN 2002-160309	A	20021219		
	CN 2002-133572		20020802		

AB O-Acetyl-N-(N-Benzoyl-L-phenylalanyl)-L-phenylalanol and its derivative are isolated from Ipomoea pes-caprae by extracting with alc. thrice, vacuum concentrating, suspending in water, extracting with petroleum ether thrice, concentrating, and purifying on silica gel column with petroleum ether-Et ether as eluent and then on centrifugal thin layer chromatog. plate, or synthesized by chlorinating L-phenylalanine with SOCl₂, esterifying with methanol to obtain L-phenylalanine Me ester HCl (I), reducing to obtain L-phenylalanol (II); N-acylating (I) with benzoyl chloride in pyridine at (-10)°, transamidating with in methanol in the presence of Na methoxide, and then acetylating with acetic anhydride in pyridine. The O-acetyl-N-(N-Benzoyl-L-phenylalanyl)-L-phenylalanol and its derivative may be used to prepare the medical formulations for treating hepatitis B.
IT 851866-74-5 851866-75-6
RL: THU (Therapeutic use); BIOL (Biological study); USES (Uses) (application of phenylalanol derivative as drug for treating hepatitis B and its formulation)
RN 851866-74-5 CAPLUS
CN Benzenepropanamide, N-[2-(acetyloxy)-1-[[4-[(dimethylamino)methyl]phenyl]methyl]ethyl]-α-(benzoylamino)-4-(dimethylamino)-, (αS)- (9CI) (CA INDEX NAME)

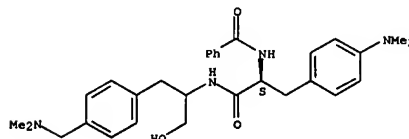
Absolute stereochemistry.



L4 ANSWER 5 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 851866-75-6 CAPLUS
CN Benzenepropanamide, α-(benzoylamino)-4-(dimethylamino)-N-[2-[4-[(dimethylamino)methyl]phenyl]-1-(hydroxymethyl)ethyl]-, (αS)- (9CI) (CA INDEX NAME)

Absolute stereochemistry.



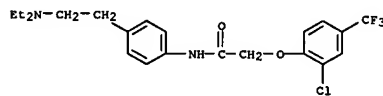
L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN
AN 2004:390211 CAPLUS
DN 140:406638
TI Preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists.
IN Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen; Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt, Kirsten; Lotz, Ralf R. H.; Lenter, Martin; Wieland, Heike-Andrea
PA Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.
SO PCT Int. Appl., 276 pp.
CODEN: PIXXDZ
DT Patent
LA German
FAN: CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2004039764	A1	20040513	WO 2003-EP11933	20031028
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SV, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, T2, UG, ZM, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
DE 10250743	A1	20040519	DE 2002-10250743	20021031
CA 2504207	A1	20040513	CA 2003-2504207	20031028
AU 2003285306	A1	20040525	AU 2003-285306	20031028
EP 1558567	A1	20050803	EP 2003-778292	20031028
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK			
BR 2003015797	A	20050913	BR 2003-15797	20031028
CN 1708476	A	20051214	CN 2003-80102236	20031028
JP 2006504761	T	20060209	JP 2004-547576	20031028
US 2004152742	A1	20040805	US 2003-699089	20031031
NO 2005000745	A	20050523	NO 2005-745	20050211
PRAI DE 2002-10250743	A	20021031		
US 2003-456482P	P	20030321		
WO 2003-EP11933	W	20031028		

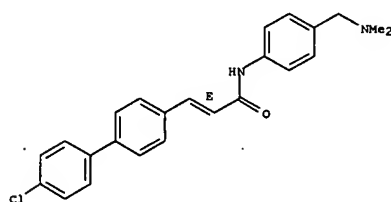
OS MARPAT 140:406638
AB R1R2NXYZNR3COWABB [R1, R2 = H, (substituted) alkyl, cycloalkyl, heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by CHN;
CH: CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl, cycloalkylalkyl; X = alkylene optionally interrupted by CH: CH, C, tpbond, C, O, S, SO, SO2, CO, imino; W = CR6aR6b, CR7aCR7c, etc.; Z = bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy: b = 0, 1; Cy = (substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a, R6b = H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and specific exceptions, were prepared for treatment of obesity, diabetes, heart failure, arteriosclerosis, hypertension, arthritis, mastocytosis, depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and N-[3-chloro-4-(2-oxoethoxy)phenyl]-2-(2,4-dichlorophenoxy)acetamide in

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to give 781 Me
[2-[2-chloro-4-(2-(2,4-dichlorophenoxy)acetylamino)phenoxy]ethylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50 = 17-41 nM.
IT 689299-40-9P 689299-74-9P 689299-81-8P
689299-82-9P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(claimed compound; preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

RN 689299-40-9 CAPLUS
CN Acetamide, 2-[2-chloro-4-(trifluoromethyl)phenoxy]-N-[4-(2-(diethylamino)ethyl)phenyl]- (9CI) (CA INDEX NAME)

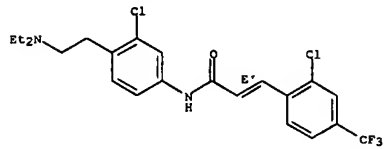


RN 689299-74-9 CAPLUS
CN 2-Propenamide, 3-(4'-(chloro[1,1'-biphenyl]-4-yl)-N-[4-(dimethylamino)methyl]phenyl)-, (2E)- (9CI) (CA INDEX NAME)
Double bond geometry as shown.

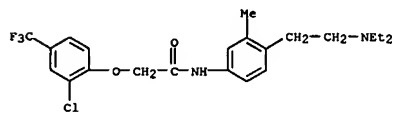


RN 689299-81-8 CAPLUS
CN 2-Propenamide, N-[3-chloro-4-(2-(diethylamino)ethyl)phenyl]-3-(2-chloro-4-(trifluoromethyl)phenyl)-, (2E)- (9CI) (CA INDEX NAME)
Double bond geometry as shown.

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

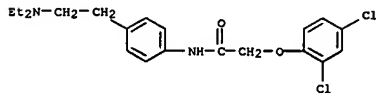


RN 689299-82-9 CAPLUS
CN Acetamide, 2-[2-chloro-4-(trifluoromethyl)phenoxy]-N-[4-(2-(diethylamino)ethyl)-3-methylphenyl]- (9CI) (CA INDEX NAME)



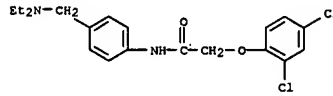
IT 689301-13-1P 689301-21-1P 689302-41-8P
689302-49-6P 689302-52-1P 689302-63-4P
689302-78-1P 689302-80-5P 689302-94-1P
689302-97-4P
RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
(preparation of arylamides as melanin concentrating hormone (MCH) receptor antagonists)

RN 689301-13-1 CAPLUS
CN Acetamide, 2-[2-(2,4-dichlorophenoxy)-N-[4-(2-(diethylamino)ethyl)phenyl]- (9CI) (CA INDEX NAME)

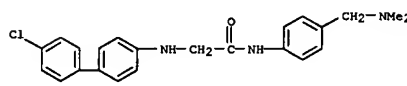


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CN Acetamide, 2-[2-(2,4-dichlorophenoxy)-N-[4-(2-(diethylamino)ethyl)phenyl]- (9CI) (CA INDEX NAME)

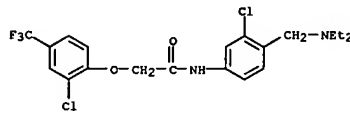
L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



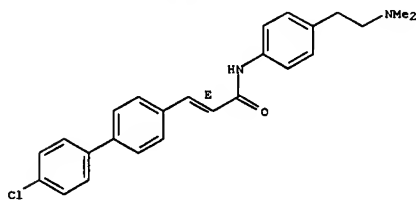
RN 689302-41-8 CAPLUS
CN Acetamide, 2-[(4'-(chloro[1,1'-biphenyl]-4-yl)amino)-N-[4-(dimethylamino)methyl]phenyl]- (9CI) (CA INDEX NAME)



RN 689302-49-6 CAPLUS
CN Acetamide, N-[3-chloro-4-(2-(diethylamino)ethyl)phenyl]-2-[2-chloro-4-(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



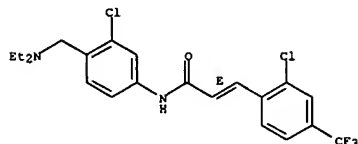
RN 689302-52-1 CAPLUS
CN 2-Propenamide, 3-(4'-(chloro[1,1'-biphenyl]-4-yl)-N-[4-(2-(diethylamino)ethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)
Double bond geometry as shown.



RN 689302-63-4 CAPLUS
CN 2-Propenamide, N-[3-chloro-4-(2-(diethylamino)ethyl)phenyl]-3-[2-chloro-4-

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
(trifluoromethyl)phenyl]-, (2E)- (9CI) (CA INDEX NAME)

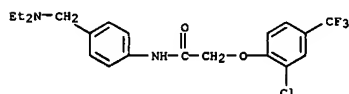
Double bond geometry as shown.



RN 689302-78-1 CAPLUS
CN Formic acid, compd. with 2-[2-chloro-4-(trifluoromethyl)phenoxy]-N-[4-
[(diethylamino)methyl]phenyl]acetamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 689302-77-0
CMF C20 H22 Cl F3 N2 O2



CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH

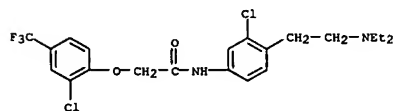
RN 689302-80-5 CAPLUS
CN Formic acid, compd. with
(2E)-3-[2-chloro-4-(trifluoromethyl)phenyl]-N-[4-
[(diethylamino)methyl]phenyl]-2-propenamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 689302-79-2
CMF C21 H22 Cl F3 N2 O

Double bond geometry as shown.

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

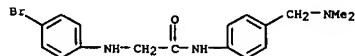


IT 689300-84-3P
RL: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT
(Reactant or reagent)
(preparation of arylamides as melanin concentrating hormone (MCH)
receptor

antagonists)

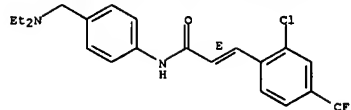
RN 689300-84-3 CAPLUS

CN Acetamide, 2-[(4-bromophenyl)amino]-N-[4-[(dimethylamino)methyl]phenyl]-
(9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 6 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



CM 2

CRN 64-18-6
CMF C H2 O2

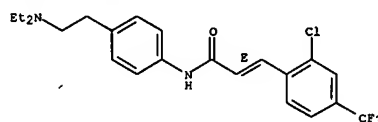
O=CH-OH

RN 689302-94-1 CAPLUS
CN Formic acid, compd. with
(2E)-3-[2-chloro-4-(trifluoromethyl)phenyl]-N-[4-
[(diethylamino)ethyl]phenyl]-2-propenamide (1:1) (9CI) (CA INDEX NAME)

CM 1

CRN 689302-93-0
CMF C22 H24 Cl F3 N2 O

Double bond geometry as shown.



CM 2

CRN 64-18-6
CMF C H2 O2

O=CH-OH

RN 689302-97-4 CAPLUS
CN Acetamide, N-[3-chloro-4-[2-[(diethylamino)ethyl]phenyl]-2-[2-chloro-4-
(trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2002:754370 CAPLUS

DN 137:279466

TI Preparation of N-(arylsulfonyl)-β-amino acids having a substituted
aminomethyl group and their pharmaceutical compositions

IN Ferrari, Bernard; Gougat, Jean; Muneaux, Yvette; Perreaut, Pierre;
Sarran,

Lionel

PA Sanofi-Synthelabo, Fr.

SO PCT Int. Appl., 195 pp.

CODEN: PIXXD2

DT Patent

LA French

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2002076964	A1	20021003	WO 2002-FR1059	20020327
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
FR 2822827	A1	20021004	FR 2001-4315	20010328
FR 2822827	B1	20030516		
CA 2436225	A1	20021003	CA 2002-2436225	20020327
AU 2002255077	A1	20021008	AU 2002-255077	20020327
EE 200300417	A	20031215	EE 2003-417	20020327
EP 1373233	A1	20040102	EP 2002-724383	20020327
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IE, IT, LU, NL, SE, WC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR				
BR 2002008489	A	20040330	BR 2002-8489	20020327
ZA 2003006037	A	20040805	ZA 2003-6037	20020327
JP 2004525936	T	20040826	JP 2002-576224	20020327
CN 1541211	A	20041027	CN 2002-807539	20020327
HU 200401538	A2	20041129	HU 2004-1538	20020327
TW 233923	B	20050611	TW 2002-91106017	20020327
NZ 527429	A	20050930	NZ 2002-527429	20020327
US 2004116353	A1	20040617	US 2003-472674	20030918
US 7157454	B2	20070102		
NO 2003004267	A	20031128	NO 2003-4267	20030924
BG 108201	A	20040930	BG 2003-108201	20030925
PRAI FR 2001-4315	A	20010328		
WO 2002-FR1059	W	20020327		

OS MARPAT 137:279466

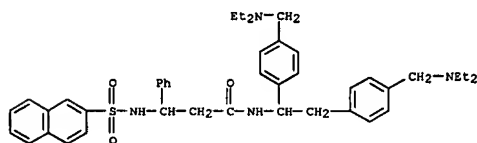
AB The invention relates to compds. R1SO2NR2CHR3CH2CONHCHR4CH2C6H4R5-p [R1 = phenylvinyl, tetrahydronaphthyl, (un)substituted Ph, naphthyl, or certain heterocyclic radicals; R2 = H, alkyl and R3 = (un)substituted Ph or heterocyclicyl or R2 = (un)substituted Ph or heterocyclicyl and R3 = H; R4 = (thio)carbamoyl or acyl groups, (un)substituted Ph or heterocyclicyl; R5 = CH2NR1R1R2 or CH2N(O)NR1R1R2, where R11, R12 = H, (cyclo)alkyl, hydroxyalkyl, etc.] which have an affinity for bradykinin receptors, with a selectivity for B1 receptors, and can be used to prepare medicaments used to treat or prevent persistent or chronic inflammatory diseases and inflammation pathologies. Thus, N-[1-(4-aminomethylbenzyl)-2-oxo-2-pyrrolidinooethyl]-3-(2-naphthalenylsulfonylamino)-3-phenylpropionamide (isolated as HCl salt) was prepared by coupling of 2-amino-3-(4-cyanophenyl)-

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
1-pyrrolidino-1-propanone trifluoroacetate with 3-(2-naphthalenylsulfonylamino)-3-phenylpropionic acid, followed by redn. of the cyano group by hydrogenation over Raney Ni. Synthesis of starting compds. is described.

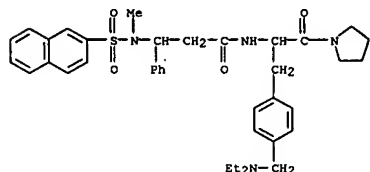
IT 464929-50-8P 464929-51-9P 464929-52-0P
464929-59-7P 464929-60-0P 464929-62-2P
464929-64-4P 464929-69-9P 464929-70-2P
464929-71-3P 464929-86-0P 464929-87-1P
464929-88-2P 464929-89-3P 464929-92-8P
464929-94-0P 464929-96-2P

RI: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)

(preparation of N-(arylsulfonyl)-β-amino acids as pharmaceuticals)
RN 464929-50-8 CAPLUS
CN Benzenepropanamide, N-[1,2-bis[4-[(diethylamino)methyl]phenyl]ethyl]-β-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

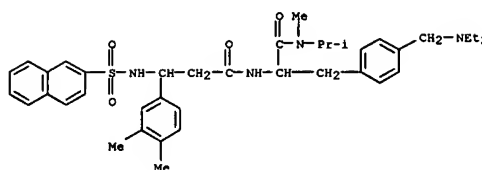


RN 464929-51-9 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[methyl(2-naphthalenylsulfonyl)amino]- (9CI)
(CA INDEX NAME)



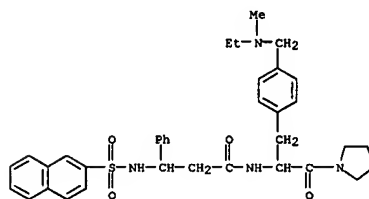
RN 464929-52-0 CAPLUS
CN Phenylalaninamide, 3-(3,4-dimethylphenyl)-N-(2-naphthalenylsulfonyl)-β-alanyl-4-[(diethylamino)methyl]-N-methyl-N-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



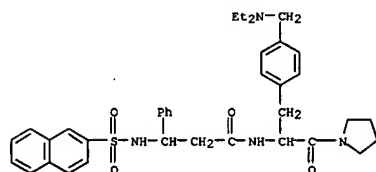
● HCl

RN 464929-59-7 CAPLUS
CN Benzenepropanamide, N-[1-[[4-[(ethylmethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[(2-naphthalenylsulfonyl)amino]- (9CI)
(CA INDEX NAME)

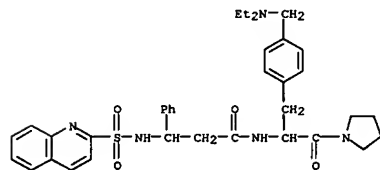


RN 464929-60-0 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

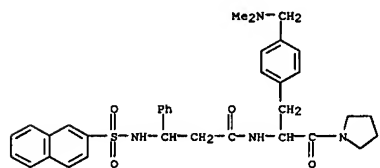


RN 464929-62-2 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[(2-quinolinylsulfonyl)amino]-, dihydrochloride (9CI) (CA INDEX NAME)



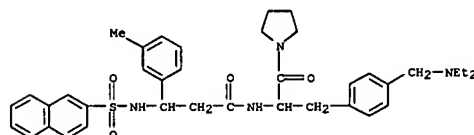
● 2 HCl

RN 464929-64-4 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(dimethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



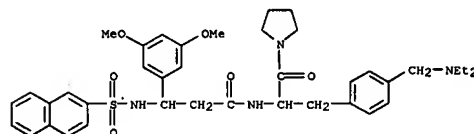
L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 464929-69-9 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-3-methyl-β-[(2-naphthalenylsulfonyl)amino]-, monohydrochloride (9CI) (CA INDEX NAME)

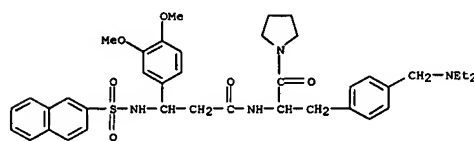


● HCl

RN 464929-70-2 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-3,5-dimethoxy-β-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)



RN 464929-71-3 CAPLUS
CN Benzenepropanamide,
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-3,4-dimethoxy-β-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

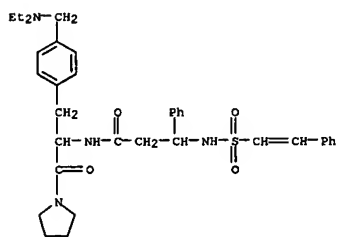


L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

RN 464929-86-0 CAPLUS

CN Benzenepropanamide,

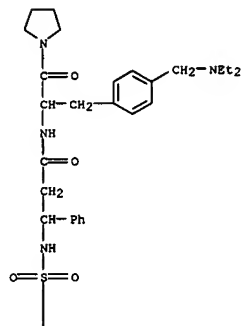
N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[[2-phenylethenyl)sulfonyl]amino]-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 464929-87-1 CAPLUS

CN Benzenepropanamide, β-[(2,1,3-benzoxadiazol-4-ylsulfonyl)amino]-N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

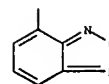


● HCl

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 1-A

PAGE 2-A



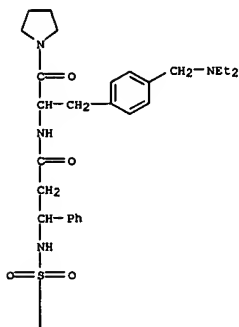
RN 464929-88-2 CAPLUS

CN Benzenepropanamide,

N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-β-[[[5-(dimethylamino)-1-naphthalenyl)sulfonyl]amino]-, dihydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

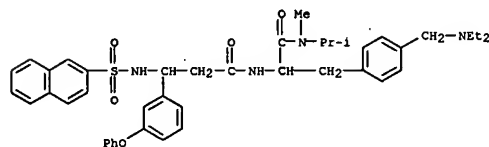
PAGE 1-A



● HCl

RN 464929-92-8 CAPLUS

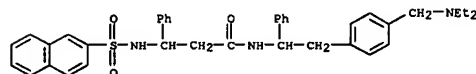
CN Phenylalaninamide, N-(2-naphthalenylsulfonyl)-3-(3-phenoxyphenyl)-β-alanyl-4-[(diethylamino)methyl]-N-methyl-N-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)



● HCl

RN 464929-94-0 CAPLUS

CN Benzenepropanamide, N-[2-[[4-[(diethylamino)methyl]phenyl]-1-phenylethyl]-β-[(2-naphthalenylsulfonyl)amino]- (9CI) (CA INDEX NAME)

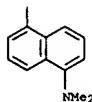


RN 464929-96-2 CAPLUS

CN Phenylalaninamide, 3-(4-chlorophenyl)-N-(2-naphthalenylsulfonyl)-β-alanyl-4-[(diethylamino)methyl]-N-methyl-N-(1-methylethyl)-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

PAGE 2-A

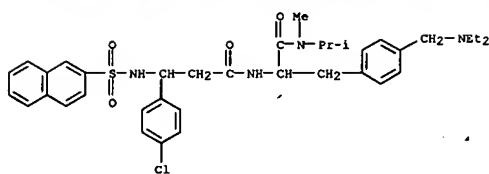


● 2 HCl

RN 464929-89-3 CAPLUS

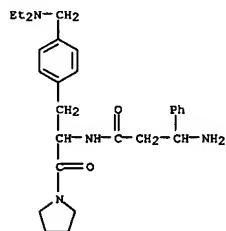
CN Benzenepropanamide, β-[[[5-chloro-3-methylbenzo[b]thien-2-yl)sulfonyl]amino]-N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, monohydrochloride (9CI) (CA INDEX NAME)

L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



● HCl

IT 464931-37-1P 464931-43-9P 464931-44-0P
 464931-45-1P 464931-48-4P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (preparation of N-(arylsulfonyl)-β-amino acids as pharmaceuticals)
 RN 464931-37-1 CAPLUS
 CN Benzenepropanamide, β-amino-N-[1-[[4-[(diethylamino)methyl]phenyl]methyl]-2-oxo-2-(1-pyrrolidinyl)ethyl]-, dihydrochloride (9CI) (CA INDEX NAME)



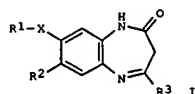
● 2 HCl

RN 464931-43-9 CAPLUS
 CN Phenylalanine, N-(2-naphthalenylsulfonyl)-3-phenyl-β-alanyl-4-[(diethylamino)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

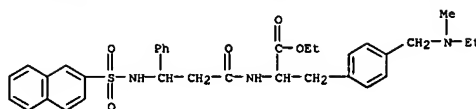
L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2001:300692 CAPLUS
 DN 134:311234
 TI Preparation of benzodiazepine derivatives as metabotropic glutamate receptor antagonists
 IN Adam, Geo; Alanine, Alexander; Goetschi, Erwin; Mutel, Vincent; Woltering, Thomas Johannes
 PA F. Hoffmann-La Roche Ag, Switz.
 SO PCT Int. Appl., 140 pp.
 LA English
 DT Patent
 FAM.CNT 1

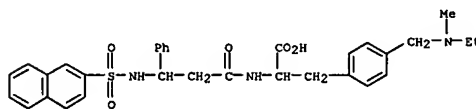
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2001029011	A2	20010426	WO 2000-EP9553	20000929
W: AE, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, CA, CH, CN, CZ, DE, DK, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, NO, NZ, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TR, TT, UA, UG, US, VN, YU, ZA, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SI, SE, TZ, UG, ZW, AT, BE, CH, CY, DE, DK, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, BF, BJ, CF, CG, CI, CM, GA, GN, GW, ML, MR, NE, SN, TD, TG				
CA 2386974	A1	20010426	CA 2000-2386974	20000929
BR 2000014859	A	20020716	BR 2000-14859	20000929
EP 1224174	A2	20020724	EP 2000-969347	20000929
EP 1224174	B1	20030917		
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL				
TR 200201023	T2	20020923	TR 2002-1023	20000929
HU 200203142	A2	20030228	HU 2002-3142	20000929
JP 2003512359	T	20030402	JP 2001-531811	20000929
JP 3857138	B2	20061213		
AT 250039	T	20031015	AT 2000-969347	20000929
PT 1224174	T	20040130	PT 2000-969347	20000929
ES 2204704	T3	20040501	ES 2000-969347	20000929
AU 774451	B2	20040624	AU 2000-79102	20000929
NZ 517999	A	20040730	NZ 2000-517999	20000929
RU 2259360	C2	20050827	RU 2002-110104	20000929
TW 255266	B	20060521	TW 2000-89120913	20001006
US 6407094	B1	20020618	US 2000-687240	20010113
ZA 200202544	A	20030630	ZA 2002-2544	20020328
NO 2002001690	A	20020410	NO 2002-1690	20020410
HK 1051038	A1	20050722	HK 2003-102802	20030417
PRAI EP 1999-120520	A	19991015		
WO 2000-EP9553	W	20000929		
OS MARPAT 134:311234				
GI				



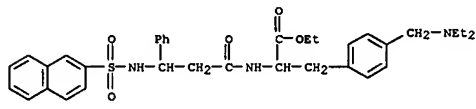
L4 ANSWER 7 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



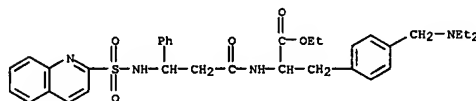
RN 464931-44-0 CAPLUS
 CN Phenylalanine, N-(2-naphthalenylsulfonyl)-3-phenyl-β-alanyl-4-[(diethylamino)methyl]- (9CI) (CA INDEX NAME)



RN 464931-45-1 CAPLUS
 CN Phenylalanine, N-(2-naphthalenylsulfonyl)-3-phenyl-β-alanyl-4-[(diethylamino)methyl]-, ethyl ester (9CI) (CA INDEX NAME)



RN 464931-48-4 CAPLUS
 CN Phenylalanine, 3-phenyl-N-(2-quinolinylsulfonyl)-β-alanyl-4-[(diethylamino)methyl]-, ethyl ester (9CI) (CA INDEX NAME)

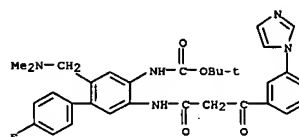


RE.CNT 4 THERE ARE 4 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

L4 ANSWER 8 OF 8 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

AB The title compds. [I: X is a single bond or an ethynediyl group; wherein, in case X is a single bond, R1 is halogen or (un)substituted phenyl; in case X is an ethynediyl group, R1 is (un)substituted phenyl; R2 is halogen, hydroxy, lower alkyl, lower haloalkyl, lower alkoxy, hydroxymethyl, hydroxyethoxy, lower alkoxy(ethoxy)n (n = 1 to 4), lower alkoxyethyl, cyanomethoxy, morpholin-4-yl, thiomorpholin-4-yl, 1-oxothiomorpholin-4-yl, 1,1-dioxothiomorpholin-4-yl, 4-oxopiperidin-1-yl, 4-alkoxypiperidin-1-yl, 4-hydroxypiperidine-1-yl, 4-hydroxyethoxypiperidin-1-yl, 4-lower alkylpiperazine-1-yl, alkoxy carbonyl, 2-dialkylaminoethylthio, N,N-bis(lower alkyl)amino-lower alkyl, carbamoylmethyl, alkylsulfonyl, etc.; R3 is (un)substituted 5 or 6 membered aryl or heteroaryl, etc.] and their pharmaceutically acceptable addition salts are prepared. These compds. can be used for treating or preventing acute and/or chronic neurol. disorders such as psychosis, schizophrenia, Alzheimer's disease, cognitive disorders and memory deficits. Thus, a mixture of (5-amino-2-tert-butoxy-2',5'-difluorobiphenyl-4-yl)carbamoyl acid tert-Bu ester and 3-(2,2-dimethyl-6-oxo-6H-[1,3]dioxin-4-yl)benzonitrile in toluene was refluxed to give [2-tert-butoxy-5-[(3-(3-cyanophenyl)-3-oxo-propionyl)amino]-2',5'-difluorobiphenyl-4-yl]carbamoyl acid tert-Bu ester which was treated with CF3CO2H in CH2Cl2 to give 3-[7-(2,5-Difluorophenyl)-8-hydroxy-4-oxo-4,5-dihydro-3H-benzo[b][1,4]diazepin-2-yl]benzonitrile (II). II in vitro inhibited the binding of [3H]-LY354740 binding on mGlu2 receptor transfected CHO cell membranes with Ki of 0.006 μM.

IT 335351-64-9P
 RI: RCT (Reactant); SPN (Synthetic preparation); PREP (Preparation); RACT (Reactant or reagent)
 (intermediate; preparation of benzodiazepine derivs. as metabotropic glutamate receptor antagonists for treating or preventing acute and/or chronic neurol. disorders)
 RN 335351-64-9 CAPLUS
 CN Carbamic acid, [2-[(dimethylamino)methyl]-4'-fluoro-5-[(3-[3-(1H-imidazol-1-yl)phenyl]-1,3-dioxopropyl)amino][1,1'-biphenyl]-4-yl]-, 1,1-dimethylethyl ester (9CI) (CA INDEX NAME)



10/669,089

Page 13

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COST IN U.S. DOLLARS

SINCE FILE

TOTAL

ENTRY

SESSION

FULL ESTIMATED COST

47.80

220.56

DISCOUNT AMOUNTS (FOR QUALIFYING ACCOUNTS)

SINCE FILE

TOTAL

ENTRY

SESSION

CA SUBSCRIBER PRICE

-6.24

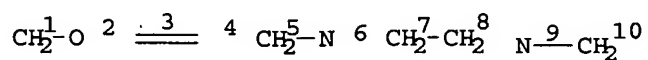
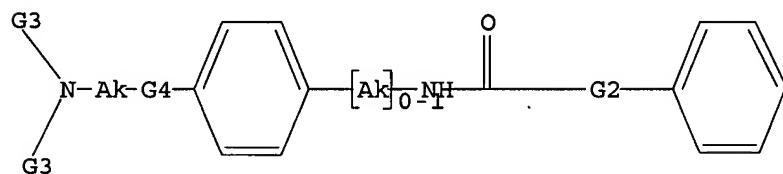
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STR



G1

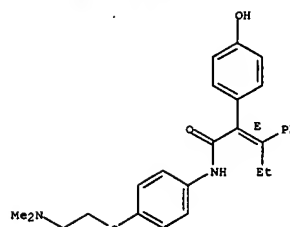
G2 [@1-@2], [@3-@4], [@5-@6], [@7-@8], [@9-@10]

G3 Me, Et, Ph

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2003:876631 CAPLUS
 DN 140:139701
 TI Rapid signaling of estrogen in hypothalamic neurons involves a novel G-protein-coupled estrogen receptor that activates protein kinase C
 AU Qiu, Jian; Bosch, Martha A.; Tobias, Sandra C.; Grandy, David K.; Scanlan, Thomas S.; Ronnekleiv, Oline K.; Kelly, Martin J.
 CS Department of Physiology and Pharmacology, Oregon Health and Science University, Portland, OR, 97239, USA
 SO Journal of Neuroscience (2003), 23(29), 9529-9540
 CODEN: JNRSDS; ISSN: 0270-6474
 PB Society for Neuroscience
 DT Journal
 LA English
 AB Classically, 17 β -estradiol (E2) is thought to control homeostatic functions such as reproduction, stress responses, feeding, sleep cycles, temperature regulation, and motivated behaviors through transcriptional events. Although it is increasingly evident that E2 can also rapidly activate kinase pathways to have multiple downstream actions in CNS neurons, the receptor(s) and the signal transduction pathways involved have not been identified. We discovered that E2 can alter μ -opioid and GABA neurotransmission rapidly through nontranscriptional events in hypothalamic GABA, proopiomelanocortin (POMC), and dopamine neurons. Therefore, we examined the effects of E2 in these neurons using whole-cell recording techniques in ovariectomized female guinea pigs. E2 reduced rapidly the potency of the GABA_B receptor agonist baclofen to activate G-protein-coupled, inwardly rectifying K⁺ channels in hypothalamic neurons. These effects were mimicked by the membrane impermeant E2-BSA and selective estrogen receptor modulators, including a new diphenyl-acrylamide compound, STX, that does not bind to intracellular estrogen receptors α or β , suggesting that E2 acts through a unique membrane receptor. We characterized the coupling of this estrogen receptor to a Gq-mediated activation of phospholipase C, leading to the upregulation of protein kinase C δ and protein kinase A activity in these neurons. Moreover, using single-cell reverse transcription-PCR, we identified the critical transcripts, PKC δ and its downstream target adenylyl cyclase VII, for rapid, novel signaling of E2 in GABA, POMC, and dopamine neurons. Therefore, this unique Gq-coupled estrogen receptor may be involved in rapid signaling in hypothalamic neurons that are critical for normal homeostatic functions.
 IT 651329-50-9, STX
 RL: BSU (Biological study, unclassified); BIOL (Biological study) (rapid signaling of estrogen in hypothalamic arcuate neurons involves a novel G-protein-coupled estrogen receptor that activates protein kinase C)
 RN 651329-50-9 CAPLUS
 CN Benzeneacetamide, N-[4-[2-(dimethylamino)ethoxy]phenyl]-4-hydroxy- α -(1-phenylpropylidene)-, (α E)- (9CI) (CA INDEX NAME)

Double bond geometry as shown.

L5 ANSWER 1 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

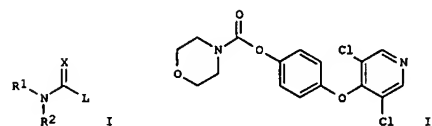


RE.CNT 74 THERE ARE 74 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

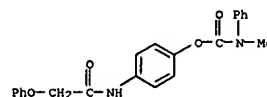
L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2003:491188 CAPLUS
 DN 139:69057
 TI Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
 IN Ebdrup, Soren; Hansen, Holger Claus; Vedso, Per; Cornelis De Jong, Johannes; Jacobsen, Poul
 PA Novo Nordisk A/S, Den.
 SO PCT Int. Appl., 390 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2003051842	A2	20030626	WO 2002-DK853	20021213
WO 2003051842	A3	20040603		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LN, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
AU 2002351732	A1	20030630	AU 2002-351732	20021213
US 2003166690	A1	20030904	US 2002-319212	20021213
US 7067517	B2	20060627		
US 2003166644	A1	20030904	US 2002-319885	20021213
EP 1458375	A2	20040922	EP 2002-787449	20021213
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1602191	A	20050330	CN 2002-828075	20021213
JP 2005518377	T	20050623	JP 2003-552729	20021213
ZA 2004004324	A	20050721	ZA 2004-4324	20040602
DK 2001-1879	A	20011214		
DK 2002-645	A	20020430		
DK 2002-1000	A	20020627		
DK 2002-1562	A	20021011		
US 2002-346909P	P	20020103		
US 2002-384253P	P	20020510		
US 2002-393068P	P	20020628		
US 2002-410481P	P	20021015		
WO 2002-DK853	W	20021213		
OS MARPAT 139:69057				
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L5 ANSWER 2 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



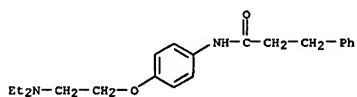
AB Title compds. I [wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof] were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μ M. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).
 IT 548766-05-8P, N-Methyl-N-phenylcarbamate 4-(2-phenoxycetylaminophenyl) ester
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)
 RN 548766-05-8 CAPLUS
 CN Carbamic acid, methylphenyl-, 4-[(phenoxycetyl)amino]phenyl ester (9CI) (CA INDEX NAME)



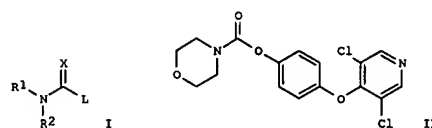
LS ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 2003:491187 CAPLUS
 DN 139:69056
 TI Preparation of carbamates as hormone-sensitive lipase inhibitors for the treatment of diabetes and related disorders
 IN Ebdrup, Soren; Cornelis De Jong, Johannes; Jacobsen, Poul; Hansen, Holger Claus; Vedso, Per
 PA Novo Nordisk A/S, Den.
 SO PCT Int. Appl., 519 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 2

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003051841	A2	20030626	WO 2002-DK852	20021213
WO 2003051841	A3	20040624		
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RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2468413	A1	20030626	CA 2002-2468413	20021213
AU 2002351731	A1	20030630	AU 2002-351731	20021213
US 2003166690	A1	20030904	US 2002-319212	20021213
US 7067517	B2	20060627		
US 2003166644	A1	20030904	US 2002-319885	20021213
EP 1458374	A2	20040922	EP 2002-787448	20021213
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
CN 1602191	A	20050330	CN 2002-828075	20021213
BR 2002014967	A	20050510	BR 2002-14967	20021213
JP 200518376	T	20050623	JP 2003-552728	20021213
HU 200501011	A2	20060130	HU 2005-1011	20021213
ZA 2004004324	A	20050721	ZA 2004-4324	20040602
NO 2004002962	A	20040908	NO 2004-2962	20040713
PRAI DK 2001-1879	A	20011214		
DK 2002-645	A	20020430		
DK 2002-1000	A	20020627		
DK 2002-1562	A	20021011		
US 2002-346909P	P	20020103		
US 2002-384253P	P	20020510		
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US 2002-418481P	P	20021015		
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OS MARPAT 139:69056				
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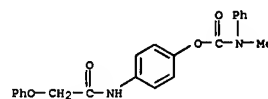
LS ANSWER 4 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1956:9614 CAPLUS
 DN 50:9614
 GREF 50:20504-e
 TI Chemical constitution and local anesthetic activity
 AU Guidicelli, Rene; Chabrier, Pierre; Najer, Henry
 SO Compt. rend. (1955), 241, 529-30
 DT Journal
 LA Unavailable
 AB cf. C.A. 49, 5668g. The local anesthetic action of amide derivs. of p-aminophenol was studied. These compds. had the general formula B(CH₂)_nOC₆H₄NHOC₆H₄HCl, in which B is diethylamino, morpholino, or piperidino; n is 2 or 3; and R is an alkyl chain (CH₃ to C₁₃H₂₇) or Ph, phenylmethyl, or phenylethyl group. The greatest anesthetic activity was shown by the compds. in which R:C₇H₁₅, C₈H₁₇, or C₉H₁₉. These compds. were 2-5 times more active than cocaine and were more active than their corresponding carbamates. The corresponding amines had no anesthetic action.
 IT 734500-14-2, p-Hydrocinnamophenetidine, β'-diethylamino- (local anesthetic action of)
 RN 734500-14-2 CAPLUS
 CN Benzenepropanamide, N-[4-[2-(diethylamino)ethoxy]phenyl]- (9CI) (CA INDEX NAME)



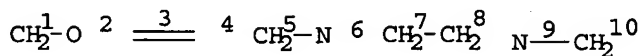
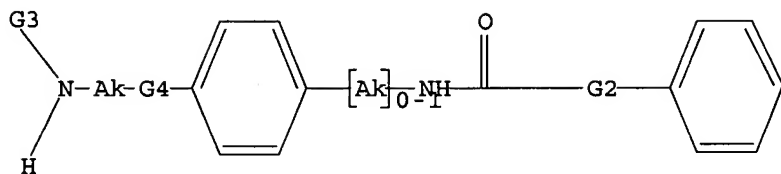
LS ANSWER 3 OF 4 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)



AB Title compds. I (wherein R1 = H or (un)substituted (cyclo)alkyl or alkenyl; R2 = (un)substituted (cyclo)alkyl, alkenyl, (hetero)aryl, or heterocyclyl; or NR1R2 = heterocyclyl; X = O or S; L = a hydrolyzable group; or pharmaceutically acceptable salts, solvates, tautomeric forms, stereoisomers, racemates, or polymorphs thereof) were prepared as inhibitors of hormone-sensitive lipase (HSL). For example, esterification of morpholine-4-carbonyl chloride with 4-(3,5-dichloropyridin-4-yloxy)phenol in the presence of DABCO in THF gave II, which showed 88% inhibition of HSL at a concentration of 10 μM. Thus, I and pharmaceutical compns. thereof are useful for the treatment and/or prevention of medical disorders where a decreased activity of hormone-sensitive lipase is desirable, such as diabetes (no data).
 IT RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (lipase inhibitor; preparation of carbamates as HSL inhibitors for treatment of diabetes and related disorders)
 RN 548766-05-8 CAPLUS
 CN Carbamic acid, methylphenyl-, 4-[(phenoxycarbonyl)amino]phenyl ester (9CI) (CA INDEX NAME)



=> => d que l4 stat
L1 STR



G1

G2 [@1-@2], [@3-@4], [@5-@6], [@7-@8], [@9-@10]

G3 Me, Et, Ph

G4 O, N

Structure attributes must be viewed using STN Express query preparation.

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L4 2 SEA FILE=CAPLUS ABB=ON PLU=ON L3

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L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2005:693723 CAPLUS

DN 143:172647

TI Preparation of sulfonamides and their use as acyl-CoA:diacylglycerol

acyltransferase (DGAT) inhibitors

IN Yoshida, Masao; Hayakawa, Ichio; Kanno, Yuichi; Furuhashi, Takafumi;

Tanimoto, Tatsuo; Karasawa, Hiroshi

PA Sankyo Co., Ltd., Japan

SO Jpn. Kokai Tokkyo Koho, 186 pp.

CODEN: JOKKAP

DT Patent

LA Japanese

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
JP 2005206492	A	20050804	JP 2004-13099	20040121
JP 2004-13099		20040121		

OS MARPAT 143:172647

AB Title inhibitors, useful for prophylactic and therapeutic treatment of

obesity, hyperlipidemia, diabetes, arteriosclerosis, etc., contain

A1R1CHR2NA2SO2A3 [I: A1 = (un)substituted C1-8 alkyl, (un)substituted

phenyl-(C1-6 alkyl), (un)substituted phenoxy-(C1-6 alkyl),

(un)substituted

C3-8 cycloalkyl, (un)substituted naphthyl, etc.; A2 = (un)substituted

di(C1-6 alkyl)amino-(C1-6 alkyl), similar groups as in A1; A3 =

(un)substituted naphthylmethyl, similar groups as in A1; R1 = NHCO

(substituted with C1-6 alkyl), CO; R2 = H, C1-6 alkyl] or their

pharmacol.

acceptable salts as active ingredients. Thus, p-phenetidine was

bromoacetylated, aminated with 3-trifluoromethylaniline, and amidated

with

PhSO2Cl in microreactor containing 2-(3,5-dimethoxy-4-formylphenyl)ethoxymethylated polystyrene using the encoding method to give I (A1 = 4-EtOPh, A2 = 3-CF3Ph, A3 = Ph, R1 = NHCO, R2 = H), which at 1 µg/mL inhibited ≥40% murine DGAT1.

IT 861247-36-1P 861247-37-2P

RL: CPN (Combinatorial preparation); PAC (Pharmacological activity); THU

(Therapeutic use); BIOL (Biological study); CMBI (Combinatorial study);

PREP (Preparation); USES (Uses)

(preparation of sulfonamides as acyl-CoA:diacylglycerol

acyltransferase

inhibitors for treatment of diseases)

RN 861247-36-1 CAPLUS

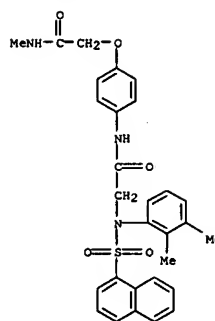
CN Acetamide,

2-[4-[[[(2,3-dimethylphenyl)(1-naphthalenylsulfonyl)amino]acetyl

1]amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)

L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

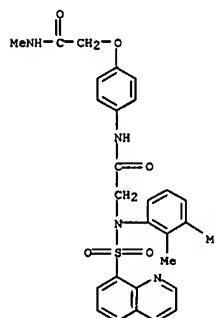


RN 861247-37-2 CAPLUS

CN Acetamide,

2-[4-[[[(2,3-dimethylphenyl)(8-quinolinylsulfonyl)amino]acetyl]

amino]phenoxy]-N-methyl- (9CI) (CA INDEX NAME)



L4 ANSWER 1 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

(Continued)

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN

AN 2004:390211 CAPLUS

DN 140:406638

TI Preparation of arylamides as melanin concentrating hormone (MCH) receptor

antagonists.

IN Stenkamp, Dirk; Mueller, Stephan Georg; Roth, Gerald Juergen;

Lustenberger, Philipp; Rudolf, Klaus; Lehmann-Lintz, Thorsten; Arndt,

Kirsten; Lotz, Ralf R. H.; Lenter, Martin; Wieland, Heike-Andrea

PA Boehringer Ingelheim Pharma GmbH & Co. Kg, Germany; et al.

SO PCT Int. Appl., 276 pp.

CODEN: PIKXK2

DT Patent

LA German

FAN.CNT 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
WO 2004039764	A1	20040513	WO 2003-EP11933	20031028
W: AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DZ, EC, EE, EG, ES, FI, GB, GD, GE, GH, GM, GR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MY, NZ, OM, PG, PH, PL, PT, RO, RU, SC, SD, SE, SG, SK, SL, SY, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW				
RW: GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, HU, IE, IT, LU, MC, NL, PT, RO, SE, SI, SK, TR, BF, BJ, CF, CG, CI, CM, GA, GN, GQ, GW, ML, MR, NE, SN, TD, TG				
DE 10250743	A1	20040519	DE 2002-10250743	20021031
CA 2504207	A1	20040513	CA 2003-2504207	20031028
AU 2003285306	A1	20040525	AU 2003-285306	20031028
EP 1558567	A1	20050803	EP 2003-778292	20031028
R: AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, HU, SK				
BR 2003015797	A	20050913	BR 2003-15797	20031028
CN 1708476	A	20051214	CN 2003-80102236	20031028
JP 2006504761	T	20060209	JP 2004-547576	20031028
US 2004152742	A1	20040805	US 2003-699089	20031031
NO 2005000745	A	20050523	NO 2005-745	20050211
PRAI DE 2002-10250743	A	20021031		
US 2003-036482P	P	20030321		
WO 2003-EP11933	W	20031028		

OS MARPAT 140:406638

AB R1R2NXYN3COWABb (R1, R2 = H, (substituted) alkyl, cycloalkyl,

heterocyclyl, Ph, pyridyl; R1R2 = alkylene optionally interrupted by

CH:N,

CH:CH, O, S, SO, SO2, CO, imino, etc.; R3 = H, alkyl, cycloalkyl,

cycloalkylalkyl; X = alkylene optionally interrupted by CH:CH,

C-tpbond, C, O, S, SO, SO2, CO, imino; W = CR6aR6b, CR7a:CR7c, etc.; Z =

bond, (fused) (alkyl-substituted) alkylene; Y, A, B = Cy; b = 0, 1; Cy =

(substituted) (unsatd.) carbocyclyl, Ph, (aromatic) heterocyclyl; R6a,

R6b =

H, alkyl, CF3; R7a, R7c = H, F, Cl, alkyl, CF3; with provisos and

specific

exceptions], were prepared for treatment of obesity, diabetes, heart

failure, arteriosclerosis, hypertension, arthritis, mastocytosis,

depression, anxiety, etc. Thus, Me aminoacetate hydrochloride, Et3N, and

N-[3-chloro-4-[(2-oxoethoxy)phenyl]-2-[(2,4-dichlorophenoxy)acetamide in

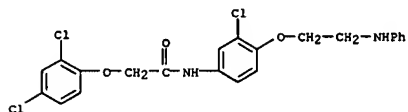
CH2Cl2/THF were treated with NaBH(OAc)3 followed by stirring for 3 h to

give 78% Me

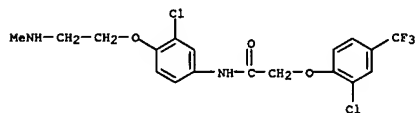
[2-[2-chloro-4-[(2-[(2,4-dichlorophenoxy)acetyl]amino]phenoxy]eth

ylamino]acetate. Tested title compds. bound to MCH-1 receptors with IC50

L4 ANSWER 2 OF 2 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 = 17-41 NM.
 IT 689301-73-3P 689302-70-3P
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES
 (Uses)
 (preparation of arylamides as melanin concentrating hormone (MCH)
 receptor
 antagonists)
 RN 689301-73-3 CAPLUS
 CN Acetamide, N-[3-chloro-4-[2-(phenylamino)ethoxy]phenyl]-2-[2,4-
 dichlorophenoxy]- (9CI) (CA INDEX NAME)

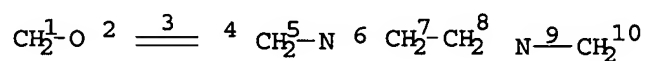
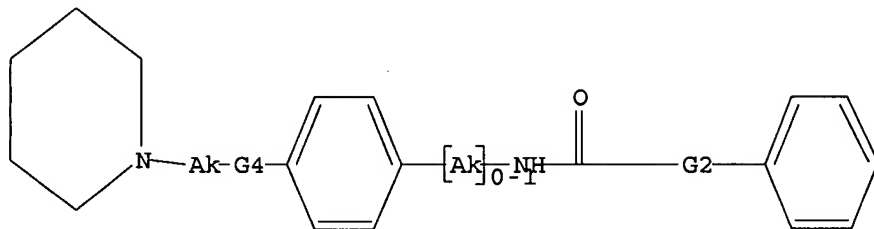


RN 689302-70-3 CAPLUS
 CN Acetamide, N-[3-chloro-4-[2-(methylamino)ethoxy]phenyl]-2-[2-chloro-4-
 (trifluoromethyl)phenoxy]- (9CI) (CA INDEX NAME)



RE.CNT 5 THERE ARE 5 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

=> => d que 15 stat
L1 STR



G1

G2 [@1-@2], [@3-@4], [@5-@6], [@7-@8], [@9-@10]

G3

G4 O, N, CH2

Structure attributes must be viewed using STN Express query preparation.

L3 42 SEA FILE=REGISTRY SSS FUL L1

L4 9 SEA FILE=CAPLUS ABB=ON PLU=ON L3

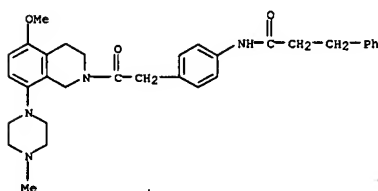
L5 3 SEA FILE=CAPLUS ABB=ON PLU=ON L4 AND PY<2004

=> d 1-3 bib abs hitstr

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 2003:356439 CAPLUS
 DN 138:368779
 TI Preparation of isoquinolines as 5-HT antagonists for treatment of psychiatric disorders
 IN Anger, Christof; Haeblerlein, Markus; Hill, Daniel; Jacobs, Robert; Moore, Gary; Pierson, Edward; Shenvi, Ashokkumar Bhikkappa
 PA Astrazeneca AB, Swed.
 SO PCT Int. Appl., 139 pp.
 CODEN: PIXXD2
 DT Patent
 LA English
 FAN.CNT 1

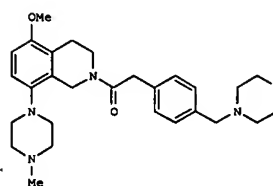
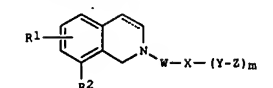
PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI WO 2003037887	A1	20030508	WO 2002-SE1988	20021101
WO 2003037887	A8	20050317		
W:	AE, AG, AL, AM, AT, AU, AZ, BA, BB, BG, BR, BY, BZ, CA, CH, CN, CO, CR, CU, CZ, DE, DK, DM, DS, EC, EE, ES, FI, GB, GD, GE, GH, GM, HR, HU, ID, IL, IN, IS, JP, KE, KG, KP, KR, KZ, LC, LK, LR, LS, LT, LU, LV, MA, MD, MG, MK, MN, MW, MX, MZ, NO, NZ, OM, PH, PL, PT, RO, RU, SD, SE, SG, SI, SK, SL, TJ, TM, TN, TR, TT, TZ, UA, UG, US, UZ, VC, VN, YU, ZA, ZM, ZW			
RW:	GH, GM, KE, LS, MW, MZ, SD, SL, SZ, TZ, UG, ZM, ZW, AM, AZ, BY, KG, KZ, MD, RU, TJ, TM, AT, BE, BG, CH, CY, CZ, DE, DK, EE, ES, FI, FR, GB, GR, IE, IT, LU, MC, NL, PT, SE, SK, TR, BF, BJ, CF, CG, CI, CM, GN, GQ, GW, ML, MR, NE, SN, TD, TG			
CA 2464342	A1	20030508	CA 2002-2464342	20021101
EP 1451172	A1	20040901	EP 2002-780244	20021101
R:	AT, BE, CH, DE, DK, ES, FR, GB, GR, IT, LI, LU, NL, SE, MC, PT, IE, SI, LT, LV, FI, RO, MK, CY, AL, TR, BG, CZ, EE, SK			
BR 2002013778	A	20041109	BR 2002-13778	20021101
CN 1608061	A	20050420	CN 2002-826281	20021101
JP 200516896	T	20050609	JP 2003-540168	20021101
IN 2004DN01022	A	20070302	IN 2004-DN1022	20040419
ZA 2004003240	A	20050407	ZA 2004-3240	20040429
US 2007010526	A1	20070111	US 2004-494424	20040430
NO 2004002154	A	20040729	NO 2004-2154	20040525
PRAI SE 2001-3644	A	20011101		
WO 2002-SE1988	W	20021101		
OS MARPAT 138:368779				
GI				

L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)
 (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses)
 (5-HT antagonist; prepn. of isoquinolines as 5-HT1B and 5-HT1D antagonists for treatment of psychiatric disorders)
 RN 521315-65-1 CAPLUS
 CN Benzenepropanamide, N-[4-[2-[3,4-dihydro-5-methoxy-8-(4-methyl-1-piperazinyl)-2(1H)-isoquinolinyl]-2-oxoethyl]phenyl]- (9CI) (CA INDEX NAME)



RE.CNT 8 THERE ARE 8 CITED REFERENCES AVAILABLE FOR THIS RECORD
 ALL CITATIONS AVAILABLE IN THE RE FORMAT

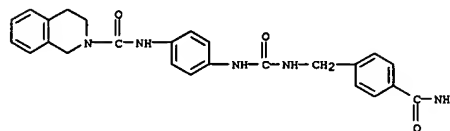
L5 ANSWER 1 OF 3 CAPLUS COPYRIGHT 2007 ACS ON STN (Continued)



AB Title compds. I [wherein W = CO, CONRA, NRaCO, CO(CH2)nNRaCO, CSNRa, COCH2O, SO2NRA, NRaSO2, CH2NRA, COCH2, CH2CO, or 5-membered heterocyclyl; X = (un)substituted aryl or heterocyclyl; Y = bond, CH2, O, S, SO, CO, SO2, NRb, or NRbSO2; Z = Rb, CO2Ra, COV(Ra)2, NRb, alkyl-N(Ra)2, SO2Rc, or (un)substituted aryl(alkyl) or heterocyclyl; R1 = halo, alkyl, ORa, SOpRa, N(Ra)2, or CN; R2 = aryl or heterocyclyl(carbonyl); Ra = H or (un)substituted alkyl; Rb = H, alkyl(sulfanyl), alkanoyl, aryl(alkyl), or arylalkoxyalkyl; Rc = alkyl, aryl, or heterocyclyl; m = 0 or 1; n = 0-4; p = 0-2;] were prepared as 5-HT1B and 5-HT1D antagonists (no data). For example, O-methylation of 5-hydroxyisoquinoline using NaOBu-t and PhMe3MCl in DMF (85%), followed by bromination with bromine in AcOH gave 5-methoxy-8-bromoisoquinoline (47%). Substitution with N-methylpiperazine using NaOBu-t, BINAP, and tris(dibenzylideneacetone)dipalladium in PhMe and subsequent reduction with NaCNBH3 and BF3·Et2O in MeOH gave 5-methoxy-8-(4-methylpiperazin-1-yl)-1,2,3,4-tetrahydroisoquinoline. Coupling of 4-(bromomethyl)phenylacetic acid with morpholine in the presence of K2CO3 in MeCN provided 4-(morpholinomethyl)phenylacetic acid. Amidation of the tetrahydroisoquinoline with the phenylacetic acid in DMF afforded II. I are useful for the treatment of psychiatric disorders including but not limited to depression, generalized anxiety, eating disorders, dementia, panic disorder, and sleep disorders (no data). The compds. may also be useful in the treatment of gastrointestinal disorders, motor disorders, endocrine disorders, vasospasm, and sexual dysfunction (no data).

IT 521315-65-1P, N-[4-[2-[5-Methoxy-8-(4-methylpiperazin-1-yl)-3,4-dihydro-1H-isoquinolin-2-yl]-2-oxoethyl]phenyl]-3-phenylpropanamide
 RL: PAC (Pharmacological activity); SPN (Synthetic preparation); THU

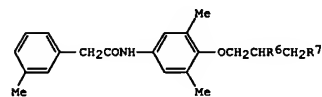
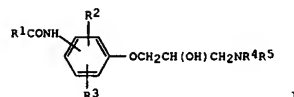
L5 ANSWER 2 OF 3 CAPLUS COPYRIGHT 2007 ACS ON STN
 AN 1995:517469 CAPLUS
 DN 123:55085
 TI A strategy for urea linked diamine libraries
 AU Hutchins, Steven M.; Chapman, Kevin T.
 CS Dep. of Molecular Design and Diversity, Merck Res. Laboratories, Rahway, NJ, 07065, USA
 SO Tetrahedron Letters (1995), 36(15), 2583-6
 CODEN: TLEAY; ISSN: 0040-4039
 PB Elsevier
 DT Journal
 LA English
 OS CDSREACT 123:55085
 AB A strategy for urea linked diamine libraries has been developed. The route involves the use of unprotected diamines and a p-nitrophenyl carbamate intermediate for the generation of the urea. The products obtained after 8 steps are of high chemical purity.
 IT 164470-65-9P
 RL: SPN (Synthetic preparation); PREP (Preparation)
 (solid-phase synthetic method for urea linked diamine libraries using unprotected diamines and resin-bound p-nitrophenyl carbamate intermediates)
 RN 164470-65-9 CAPLUS
 CN 2(1H)-Isoquinolinecarboxamide,
 N-[4-[[[4-(aminocarbonyl)phenyl]methyl]amino]carbonyl]amino]phenyl]-3,4-dihydro- (9CI) (CA INDEX NAME)



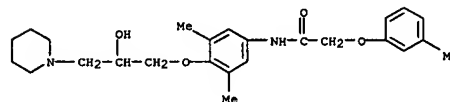
L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN
 AN 1988:549061 CAPLUS
 DN 109:149061
 TI Preparation of phenoxypiprolamines as antiarrhythmic agents
 IN Koeppel, Herbert; Esser, Franz; Kobinger, Walter; Lillie, Christian
 PA Boehringer Ingelheim K.-G., Fed. Rep. Ger.
 SO Ger. Offen., 14 pp.
 CODEN: GWXXBX
 DT Patent
 LA German
 FAN CNY 1

PATENT NO.	KIND	DATE	APPLICATION NO.	DATE
PI DE 3640829	A1	19880609	DE 1986-3640829	19861128
<-- SU 1574169	A3	19900623	SU 1987-4203680	19871120
<-- ZA 8708917	A	19890726	ZA 1987-8917	19871121
<-- EP 269985	A2	19880608	EP 1987-117374	19871125
<-- EP 269985	A3	19900704		
R: AT, BE, CH, DE, ES, FR, GB, GR, IT, LI, LU, NL, SE				
DD 275241	A5	19900117	DD 1987-309421	19871125
<-- CS 270576	B2	19900712	CS 1987-8507	19871125
<-- US 4948812	A	19900814	US 1987-125308	19871125
<-- FI 8705212	A	19880529	FI 1987-5212	19871126
<-- DK 8706252	A	19880529	DK 1987-6252	19871127
<-- NO 8704958	A	19880530	NO 1987-4958	19871127
<-- AU 8781874	A	19880602	AU 1987-81874	19871127
<-- AU 594840	B2	19900315		
JP 63150253	A	19880622	JP 1987-299614	19871127
<-- HU 49112	A2	19890828	HU 1987-5356	19871127
<-- HU 200319	B	19900528		
PRAI DE 1986-3640829	A	19861128		
OS MARPAT 109:149061				
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L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)

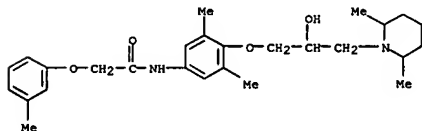


AB The title compds. (I; R1 = (un)substituted Ph, aryloxy, pyridyl, anilino; R2 = H, halo, alkyl, alkoxy, cyano, atoms to complete a(n) (un)saturated fused ring; R3 = H, halo, alkyl; R4 = alkyl, hydroxyalkyl; R5 = R4, (un)substituted phenylalkyl, phenoxyalkyl; NR4R5 = heterocyclyl) were prepared as antiarrhythmic agents (no data). Phenoxyoxirane II (R6R7 = O) and Et2NH were refluxed 1.5 h in EtOH to give II (R6 = OH, R7 = NEt2) (III). Capsules were prepared each containing 150 mg III.HCl and 150 mg starch.
 IT 116720-42-4P
 RL: BAC (Biological activity or effector, except adverse); BSU (Biological study, unclassified); SPN (Synthetic preparation); THU (Therapeutic use); BIOL (Biological study); PREP (Preparation); USES (Uses) (preparation of, as antiarrhythmic agent)
 RN 116720-42-4 CAPLUS
 CN Acetamide,
 N-[4-[2-hydroxy-3-(1-piperidinyl)propoxy]-3,5-dimethylphenyl]-2-(3-methylphenoxy)-, monohydrochloride (9CI) (CA INDEX NAME)

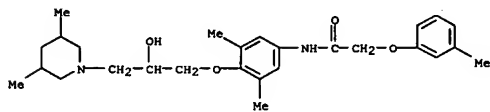


IT 116689-03-3P 116689-04-4P
 RL: SPN (Synthetic preparation); PREP (Preparation)

L5 ANSWER 3 OF 3 CAPLUS COPYRIGHT 2007 ACS on STN (Continued)
 (prepn. of, as antiarrhythmic agent fml: 700,701,702(antiarrhythmic tablet))
 RN 116689-03-3 CAPLUS
 CN Acetamide, N-[4-[3-(2,6-dimethyl-1-piperidinyl)-2-hydroxypropoxy]-3,5-dimethylphenyl]-2-(3-methylphenoxy)- (9CI) (CA INDEX NAME)



RN 116689-04-4 CAPLUS
 CN Acetamide, N-[4-[3-(3,5-dimethyl-1-piperidinyl)-2-hydroxypropoxy]-3,5-dimethylphenyl]-2-(3-methylphenoxy)-, monohydrochloride (9CI) (CA INDEX NAME)



10/669,089

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